ABSTRACT

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HIV REPLICATION INHIBITING PYRIMIDINES

This invention concerns the use of compounds of formula

the N-oxides, the pharmaceutically acceptable addition salts, quaternary amines and the

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stereochemically isomeric forms thereof, wherein -a¹=a²-a³=a⁴- forms a phenyl, pyridinyl, pyrimidinyl, pyridazinyl or pyrazinyl with the attached vinyl group; n is 0 to 4; and where possible 5; R¹ is hydrogen, aryl, formyl, C₁₋₆alkylcarbonyl, C₁₋₆alkyl, C₁₋₆alkyloxycarbonyl, substituted C₁₋₆alkyl, or substituted C₁₋₆alkyloxyC₁₋₆alkylcarbonyl; each R² independently is hydroxy, halo, optionally substituted C₁₋₆alkyl, C₂₋₆alkenyl or C₂₋₆alkynyl, C₃₋₇cycloalkyl, C₁₋₆alkyloxy, C₁₋₆alkyloxycarbonyl, carboxyl, cyano, nitro, amino, mono- or di(C₁₋₆alkyl)amino, polyhalomethyl, polyhalomethyloxy, polyhalomethylthio, $-S(=O)_{p}R^{6}$, $-NH-S(=O)_{p}R^{6}$, $-C(=O)R^{6}$, -NHC(=O)H, $-C(=O)NHNH_{2}$, -NHC(=O)R⁶,-C(=NH)R⁶ or a 5-membered heterocyclic ring; p is 1 or 2; L is optionally 20 substituted C₁₋₁₀alkyl, C₂₋₁₀alkenyl, C₂₋₁₀alkynyl or C₃₋₇cycloalkyl; or L is -X-R³ wherein R³ is optionally substituted phenyl, pyridinyl, pyrimidinyl, pyrazinyl or pyridazinyl; X is $-NR^{1}$, -NH-NH, -N=N, -O, -C(=O), -CHOH, -S, -S(=O) or $-S(=O)_{2}$; Q is hydrogen, C₁₋₆alkyl, halo, polyhalo-C₁₋₆alkyl or an optionally substituted amino group; Y 25 represents hydroxy, halo, C₃₋₇cycloalkyl, optionally substituted C₁₋₆alkyl, C₂₋₆alkenyl or C₂₋₆alkynyl, C₁₋₆alkyloxy, C₁₋₆alkyloxycarbonyl, carboxyl, cyano, nitro, amino, mono- or $di(C_{1-6}alkyl)$ amino, polyhalomethyl, polyhalomethyloxy, polyhalomethylthio, $-S(=O)_pR^6$, $-NH-S(=O)_{0}R^{6}$, $-C(=O)R^{6}$, -NHC(=O)H, $-C(=O)NHNH_{2}$, $-NHC(=O)R^{6}$, $-C(=NH)R^{6}$ or aryl; aryl is optionally substituted phenyl; Het is an optionally substituted heterocyclic 30 radical; for the manufacture of a medicine for the treatment of subjects suffering from HIV (Human Immunodeficiency Virus) infection.